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L1                STR
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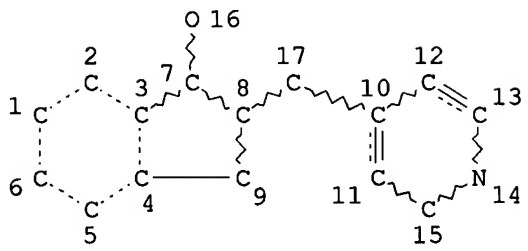

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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE


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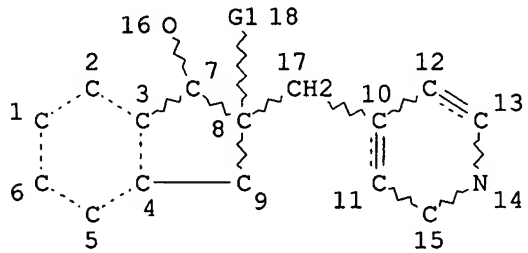

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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   9  10
NUMBER OF NODES IS  18

STEREO ATTRIBUTES: NONE


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ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l3
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ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
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FULL SUBSET SCREEN SEARCH COMPLETED - 174 TO ITERATE

100.0% PROCESSED 174 ITERATIONS 31 ANSWERS
SEARCH TIME: 00.00.01

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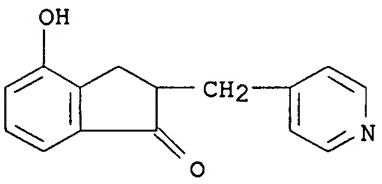
=> d scan

L10 31 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1H-Inden-1-one, 2,3-dihydro-4-hydroxy-2-(4-pyridinylmethyl)- (9CI)
MF C15 H13 N O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	302.90	303.11

FILE 'CAPLUS' ENTERED AT 10:29:14 ON 28 JUN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 28 Jun 2007 VOL 147 ISS 1
FILE LAST UPDATED: 27 Jun 2007 (20070627/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l10
L11 21 L10

=> d bib abs hitstr 1-21

L11 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:565068 CAPLUS
DN 147:9804
TI Process for making donepezil via a new acid addition salt intermediate, particularly 5,6-dimethoxy-2-[1-(4-pyridinyl)methylidene]indan-1-one tosylate
IN Pospisilik, Karel
PA Synthon B.V., Neth.
SO PCT Int. Appl., 29pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007057226	A2	20070524	WO 2006-EP11129	20061120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2007135644	A1	20070614	US 2006-561673	20061120
PRAI US 2005-737751P	P	20051118		

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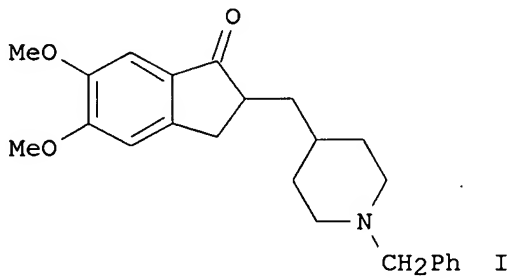

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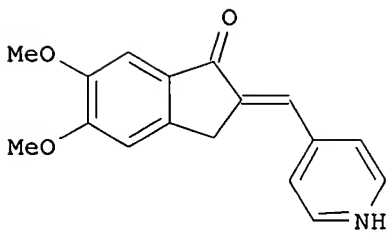
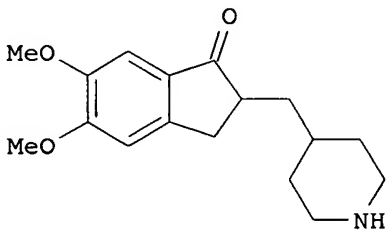


II



III

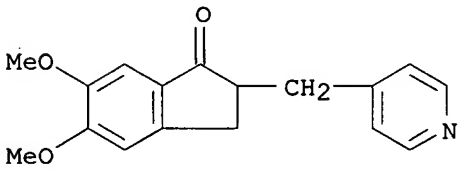
AB The invention is related to the preparation of Anti-Alzheimer drug donepezil I via a new intermediate salt II+•X- [X = counter ion], especially the tosylate, in good yield and high purity. Specifically, donepezil was

prepared by hydrogenation of an acid addition salt II+•X- with H2 in the presence of Pd/C, and alkylation of piperidine with a benzyl halide. Thus, reacting 5,6-dimethoxyindan-1-one with pyridine-4-carboxaldehyde in the presence of p-toluenesulfonic acid, hydrogenation of II•TsOH with H2 in the presence of Pd/C at 10 bar for 10 h, alkylation of piperidine-indanone III with benzyl chloride in toluene in the presence of NaHCO3 at 145° for 8 h, isolation of donepezil by extraction with Et acetate, and acidulation with a methanolic solution of HCl gave I•HCl•H2O.

IT 4803-57-0P
RL: BYP (Byproduct); IMF (Industrial manufacture); PREP (Preparation)
(hydrogenation byproduct; preparation of donepezil via a new acid addition salt
intermediate, especially dimethoxy pyridinylmethylideneindanone tosylate)

RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



L11 ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:653412 CAPLUS

DN 145:103572

TI A novel process for the preparation of 1-benzyl-4-[(5,6-dimethoxy-1-indanon-2-yl)methyl]piperidine

IN Dubey, Shailendra Kumar; Sharma, Amit Kumar; Rani, Beena S.; Paul, Soumendu; Thaper, Rajesh Kumar; Dubey, Sushil Kumar; Khanna, Jag Mohan

PA Jubilant Organosys Limited, India

SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006070396	A1	20060706	WO 2004-IN433	20041230

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI WO 2004-IN433 20041230

OS CASREACT 145:103572

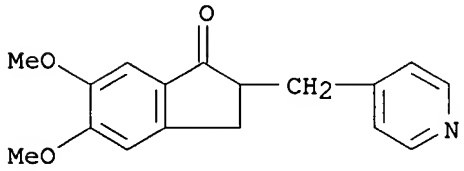
AB A novel process for producing 1-benzyl-4-[(5,6-dimethoxy-1-oxoindan-2-yl)methyl]piperidine (donepezil) by oxidizing 4-[(5,6-dimethoxy-1-indanon-2-ylidene)methyl]pyridine to get 4-[(5,6-dimethoxy-1 -indanon-2-ylidene)methyl]pyridine N-oxide followed by reduction of the double bond and subsequent benzylation to yield the target compound No yields were provided

for the entire sequence.

IT 4803-57-0P 896134-07-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of 1-benzyl-4-[(5,6-dimethoxy-1-indanon-2-yl)methyl]piperidine via oxidation, reduction and benzylation as key steps)

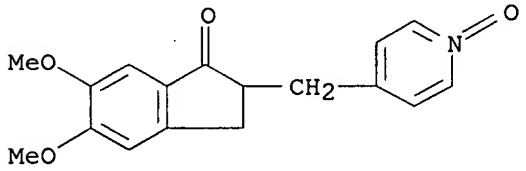
RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RN 896134-07-9 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-[(1-oxido-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:47374 CAPLUS

DN 144:274115

TI New synthesis of donepezil through palladium-catalyzed hydrogenation approach

AU Elati, Chandrashekar; Kolla, Naveenkumar; Chalamala, Subrahmanyeswara Rao; Vankawala, Pravinchandra; Sundaram, Venkataraman; Vurimidi, Himabindu; Mathad, Vijayavitthal

CS Department of Research and Development, Dr. Reddy's Laboratories Ltd., Andhra Pradesh, India

SO Synthetic Communications (2006), 36(2), 169-174
CODEN: SYNCAV; ISSN: 0039-7911

PB Taylor & Francis, Inc.

DT Journal

LA English

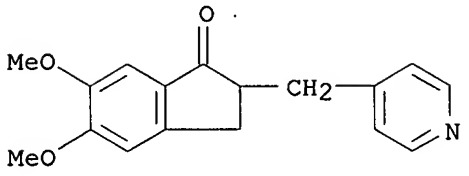
OS CASREACT 144:274115

AB A new, economical, and efficient process was developed for large-scale synthesis of donepezil, an anti-Alzheimer's drug. The process involves palladium-catalyzed hydrogenation of (2E)-5,6-dimethoxy-2-(pyridin-4-ylmethylene)indan-1-one to provide 5,6-dimethoxy-2-(piperidin-4-ylmethyl)indan-1-one as a key step.

IT 4803-57-0P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of donepezil by palladium-catalyzed hydrogenation of dimethoxy-(pyridinylmethylene)indanone followed by benzylation of dimethoxyindanonylmethylpiperidine)

RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)

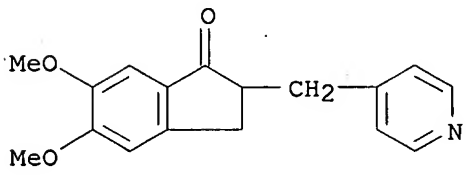


RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:535700 CAPLUS
DN 143:195561
TI Technology for industrial production of multi-donepezil hydrochloride
IN Qu, Hong
PA Tianjin Institute of Pharmaceutical Research, Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, No pp. given
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1524851	A	20040901	CN 2003-104718	20030227
PRAI CN 2003-104718		20030227		

AB The invention relates to the industrial production method of donepezil HCl, wherein 5,6-dimethoxyl-2-(4-piperidinemethyl)- dihydroindene-1-ketone acetate is first prepared through a reduction reaction with the reaction condition being, pressure: 0.5-5.0 MPa, temperature: 25-150°, time: 2-5 h, reducing agent: palladium-carbon. Raw material: palladium-carbon : solvent W:W:V = 1:0.1:20, then (+-)2,3 dihydro-5,6-dimethoxy-2-{(1-benzyl)-4- piperidino! methyl}-1H-indene-ketone hydrochlorate is prepared through a substitution reaction with the reaction condition being, the mol ratio of raw material : benzyl chloride : deoxidizing agent = 1:1.1:2.2, temperature: 40-100°, solvent: methanol, ethanol or isopropanol.
IT 4803-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(technol. for industrial production of multi-donepezil hydrochloride)
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



L11 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:429404 CAPLUS
DN 142:447125
TI Process for preparation of donepezil and its derivatives
IN Zhang, Hesheng

PA Tianjin Hemey Bio-Tech Co., Ltd., Peop. Rep. China
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005044805	A1	20050519	WO 2004-CN1227	20041028
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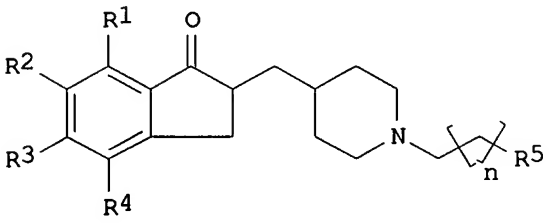
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CN 1613848	A	20050511	CN 2003-10106920	20031105
	US 2007072905	A1	20070329	US 2006-595609	20060430
PRAI	CN 2003-10106920	A	20031105		
	WO 2004-CN1227	W	20041028		

OS CASREACT 142:447125; MARPAT 142:447125
GI



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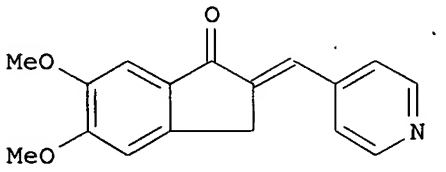


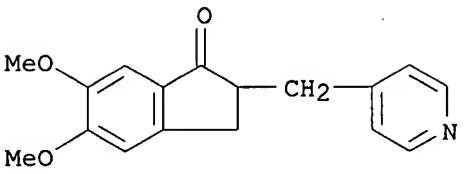
II

AB A process for the preparation of title compds. of formula I [wherein R1-R4 = H, F, alkyl, alkoxy; R5 = (un)substituted Ph; n = 0-2] is disclosed. For example, reaction of 4-pyridylaldehyde with 5,6-dimethoxyindan-1-one and 4-methylbenzenesulfonic acid gave II•TsOH in 94% yield. PtO2-catalyzed hydrogenation of II•TsOH (94%) and followed by alkylation with benzyl bromide provided I (R1 = R4 = H, R2 = R3 = OMe, n = 0, R5 = Ph) in 96% yield.

IT 4803-57-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of donepezil and its derivs. via reaction of 5,6-dimethoxyinden-1-one with 4-pyridylaldehyde)

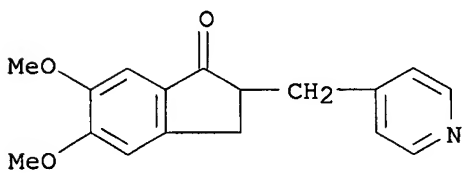
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA

INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:67540 CAPLUS
DN 142:336108
TI Meldrum's Acids as Acylating Agents in the Catalytic Intramolecular Friedel-Crafts Reaction
AU Fillion, Eric; Fishlock, Dan; Wilsily, Ashraf; Goll, Julie M.
CS Department of Chemistry, University of Waterloo, Waterloo, ON, N2L 3G1, Can.
SO Journal of Organic Chemistry (2005), 70(4), 1316-1327
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 142:336108
AB The intramol. Friedel-Crafts acylation of aroms. with Meldrum's acid derivs. catalyzed by metal trifluoromethanesulfonates is reported. Meldrum's acids are easily prepared, functionalized, handled, and purified. The synthesis of polysubstituted 1-indanones from benzyl Meldrum's acids was investigated thoroughly, and it was shown that a variety of catalysts were effective, while accommodating a diversity of functional groups under mild conditions. The scope, limitations, and functional group tolerance [terminal alkene and alkyne, ketal, dialkyl ether, dialkyl thioether, aryl Me ether, aryl TIPS and TBDPS ethers, nitrile- and (nitro)aryl, alkyl and aryl halides] for a variety of 5-benzyl (enolizable Meldrum's acids) and 5-benzyl-5-substituted Meldrum's acids (quaternized Meldrum's acids), forming 1-indanones and 2-substituted-1-indanones, resp., are delineated. This method was further applied to the synthesis of 1-tetralones, 1-benzosuberones, and the potent acetylcholinesterase inhibitor donepezil. Rate of cyclization as a function of ring size was established for various benzocyclic ketones via competition expts.: 1-tetralones form faster than both 1-indanones and 1-benzosuberones, and 1-benzosuberones cyclize faster than 1-indanones.
IT 4803-57-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of [(pyridinyl)methyl]-1H-inden-1-one derivative by catalytic intramol. Friedel-Crafts reaction using (pyridinyl)methyl Meldrum's acid derivative as reactant)
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RE.CNT 196 THERE ARE 196 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:29309 CAPLUS
DN 142:113913
TI Catalytic hydrogenation process for the preparation of intermediates for acetyl cholinesterase inhibitors
IN Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji; Reddy, Dasari Muralidhara
PA Hetero Drugs Limited, India
SO PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005003092	A1	20050113	WO 2003-IN232	20030701
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2003247158	A1	20050121	AU 2003-247158	20030701
IN 2003CN01032	A	20050422	IN 2003-CN1032	20030701
EP 1654230	A1	20060510	EP 2003-817342	20030701
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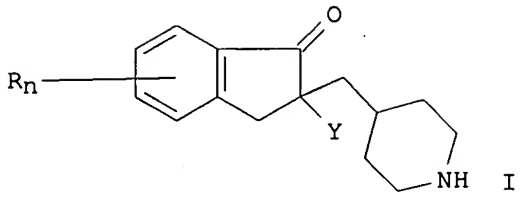
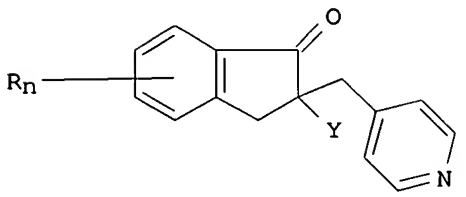
US 2006041140	A1	20060223	US 2004-510410	20041006
PRAI WO 2003-IN232	A	20030701		

OS CASREACT 142:113913; MARPAT 142:113913
GI



I



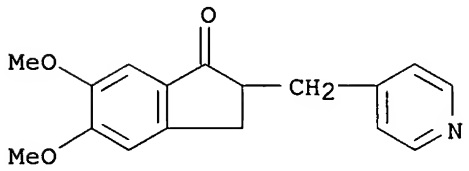
II

AB A simple industrial process for the preparation of the intermediates of acetyl cholinesterase inhibitors [I; R = H, lower alkoxy; Y = H, F; n = 1-4; e.g., 4-[(5,6-dimethoxy-1-indanon)-2-yl]methylpiperidine hydrochloride] is described which comprises the hydrogenation of the corresponding 4-pyridyl analog prepared by hydrogenated using a platinum oxide, Pt/C, raney nickel, or ruthenium oxide catalyst in the presence of an acid (e.g., aqueous HCl) under a pressure of 1-10 bars to give the 4-piperidinyl intermediate [II; e.g., 5,6-dimethoxy-2-(4-pyridyl)methyl-1-indanone].

IT 4803-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(catalytic hydrogenation process for the preparation of intermediates for acetyl cholinesterase inhibitors)

RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:802718 CAPLUS

DN 141:314158

TI Process for the preparation of donepezil and derivatives thereof

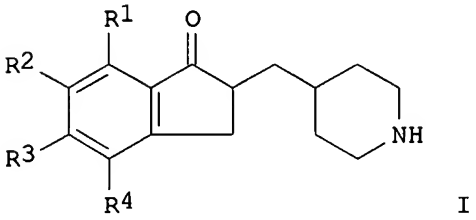
IN Kumar, Yatendra; Prasad, Mohan; Nath, Asok; Maheshwari, Nitin

PA Ranbaxy Laboratories Limited, India

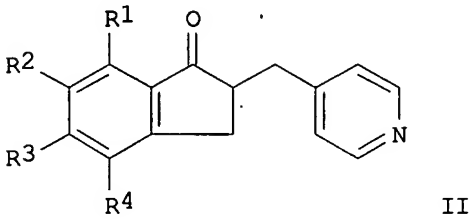
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004082685	A1	20040930	WO 2004-IB843	20040322
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1608371	A1	20051228	EP 2004-722342	20040322
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	US 2007129549	A1	20070607	US 2006-550173	20061204
PRAI	IN 2003-DE352	A	20030321		
	WO 2004-IB843	W	20040322		

OS CASREACT 141:314158; MARPAT 141:314158
GI



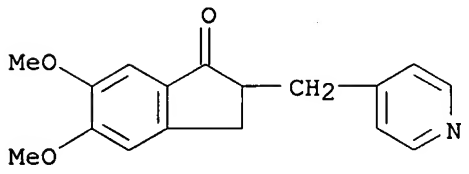
I



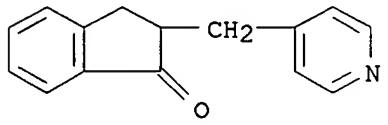
II

AB A process for the preparation of donepezil and its derivs. of formula I (R1-R4 = independently H, straight or branched -chain alkyl, alkoxy, alkoxycarbonyl, etc.; or a salt thereof), which comprises reducing 2-(4-pyridyl)methyl-1-indanone of formula II, is disclosed. For example, reaction of 5,6-dimethoxyindan-1-one with pyridine-4-carboxaldehyde, followed by hydrogenation and substitution with benzyl bromide, gave donepezil•HCl, which is 1-benzyl-4-[(5,6-dimethoxy-1-indanone)-2-yl]methylpiperidine. Thus, the present invention provides a process for the preparation of donepezil or a pharmaceutically acceptable salt thereof, and pharmaceutical compns. that include the donepezil or a pharmaceutically acceptable salt thereof, which are active compds. for the treatment of CNS disorders.

IT 4803-57-0P 4803-61-6P, 2-(4-Pyridyl)methyl-1-indanone
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of donepezil and derivs.)
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



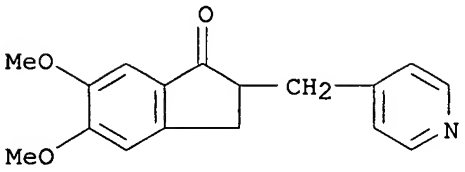
RN 4803-61-6 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:710552 CAPLUS
DN 142:246340
TI Identification and characterization of potential impurities of donepezil
AU Reddy, K. V. S. R. Krishna; Babu, J. Moses; Kumar, P. Anil; Chandrashekar, E. R. R.; Mathad, Vijayavitthal T.; Eswaraiah, S.; Reddy, M. Satyanarayana; Vyas, K.
CS Department of Analytical Research, Discover Research, Dr. Reddy's Laboratories Ltd., Miyapur, Hyderabad, 500050, India
SO Journal of Pharmaceutical and Biomedical Analysis (2004), 35(5), 1047-1058
CODEN: JPBADA; ISSN: 0731-7085
PB Elsevier B.V.
DT Journal
LA English
AB Five unknown impurities ranging from 0.05 to 0.2% in donepezil were detected by a simple isocratic reversed-phase high performance liquid chromatog. (HPLC). These impurities were isolated from crude sample of donepezil using isocratic reversed-phase preparative high performance liquid chromatog. Based on the spectral data (IR, NMR, and MS), the structures of these impurities were characterized as 5,6-dimethoxy-2-(4-pyridylmethyl)-1-indanone (impurity I), 4-(5,6-dimethoxy-2,3-dihydro-1H-2-indenylmethyl) piperidine (impurity II), 2-(1-benzyl-4-piperdylmethyl)-5,6-dimethoxy-1-indanol (impurity III) 1-benzyl-4(5,6-dimethoxy-2,3-dihydro-1H-2-indenylmethyl) piperidine (impurity IV), and 1,1-dibenzyl-4(5,6-dimethoxy-1-oxo-2,3-dihydro-2H-2-indenylmethyl)hexahydropyridinium bromide (impurity V). The synthesis of these impurities and their formation was discussed.
IT 4803-57-0
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(identification and characterization of potential impurities of donepezil)
RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



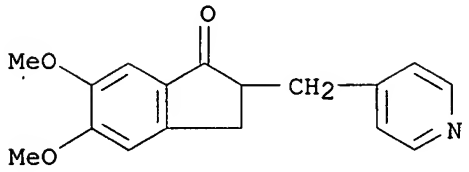
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:652671 CAPLUS
DN 141:174080
TI Hydrogenation and benzylation process for the preparation of 1-benzyl-4-[[5,6-dimethoxy-1-indanon)-2-yl]methyl]piperidine hydrochloride (donepezil hydrochloride)
IN Radhakrishnan, Tarur Venkatasubramanian; Govind, Sathe Dhanajay; Venkatraman, Naidu Avinash
PA USV, Limited, India
SO U.S. Pat. Appl. Publ., 5 pp., Cont.-in-part of U.S. Ser. No. 365,717. CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004158070	A1	20040812	US 2003-714724	20031117
	US 6953856	B2	20051011		
	US 6649765	B1	20031118	US 2003-365717	20030212
	US 2005107613	A1	20050519	US 2004-879816	20040629
	EP 1531151	A1	20050518	EP 2004-16772	20040715
	EP 1531151	B1	20070307		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	AT 356115	T	20070315	AT 2004-16772	20040715
	US 2005272775	A1	20051208	US 2005-145202	20050603
	US 7186842	B2	20070306		
PRAI	US 2003-365717	A2	20030212		
	US 2003-714724	A2	20031117		
	US 2004-879816	A2	20040629		
	WO 2004-IN227	A	20040728		
	US 2005-72169	A2	20050304		

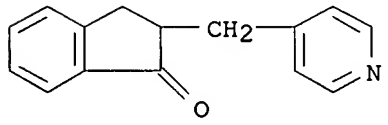
OS CASREACT 141:174080
AB A process for the preparation of 1-benzyl-4-[[(5,6-dimethoxy-1-indanon)-2-yl]methyl]piperidine hydrochloride (i.e., donepezil HCl; m.p. 210-212°) is described in which 5,6-dimethoxy-2-[(pyridin-4-yl)methyl]inda-1-one is hydrogenated with a noble metal catalyst (e.g., Pd/C) or a non-oxide derivative of a noble metal catalyst in a solvent at 20-100°/10-90 psi-gauge to give 4-[[(5,6-dimethoxy-1-indanon)-2-yl]methyl]piperidine which is benzylated with benzyl bromide at 20-80° followed by salification with methanolic HCl.
IT 4803-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogenation and benzylation process for the preparation of 1-benzyl-4-[[5,6-dimethoxy-1-indanon)-2-yl]methyl]piperidine hydrochloride (donepezil hydrochloride))
RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:597361 CAPLUS
DN 141:236502
TI Synthesis and evaluation of a dimer of 2-(4-pyridylmethyl)-1-indanone as a novel nonsteroidal aromatase inhibitor
AU Gupta, Ranju; Jindal, Dharam Paul; Jit, Birinder; Narang, Gaurav; Palusczak, Anja; Hartmann, Rolf W.
CS University Institute of Pharmaceutical Sciences, Panjab University, Chandigarh, India
SO Archiv der Pharmazie (Weinheim, Germany) (2004), 337(7), 398-401
CODEN: ARPMAS; ISSN: 0365-6233
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB A novel dimer of 2-(4-pyridylmethyl)-1-indanone was obtained while carrying out aldol condensation of 1-indanone with pyridine-4-carboxaldehyde in potassium hydroxide. The structure of dimer 3 has been established using various spectral techniques and was screened for its ability to inhibit the cytochrome P450 enzyme aromatase. The dimer showed strong inhibition of human placental aromatase and was found 3 times more potent (RP = 3, IC50 = 10.2 μM) as compared to aminoglutethimide (RP = 1, IC50 = 18.5 μM).
IT 4803-61-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and evaluation of a dimer of 2-(4-pyridylmethyl)-1-indanone as a novel nonsteroidal aromatase inhibitor)
RN 4803-61-6 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



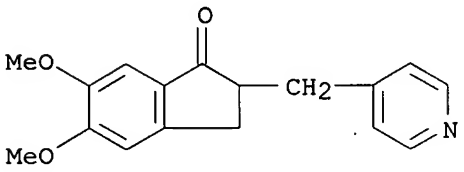
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 12 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:903267 CAPLUS
DN 139:381380
TI Process for the preparation of 1-benzyl-4-[(5,6-dimethoxy-1-indanon)-2-yl)methylpiperidine hydrochloride (donepezil hydrochloride)
IN Vidyadhar, Joshi Shreerang; Venkatraman, Naidu Avinash; Pandurang, Sutar Rajiv
PA USV Limited, BSD Marg., India

SO U.S., 3 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6649765	B1	20031118	US 2003-365717	20030212
	US 2004158070	A1	20040812	US 2003-714724	20031117
	US 6953856	B2	20051011		
	US 2005272775	A1	20051208	US 2005-145202	20050603
	US 7186842	B2	20070306		
PRAI	US 2003-365717	A2	20030212		
	US 2003-714724	A2	20031117		
	US 2004-879816	A2	20040629		
	WO 2004-IN227	A	20040728		
	US 2005-72169	A2	20050304		

OS CASREACT 139:381380
AB A process for the preparation of 1-benzyl-4-[(5,6-dimethoxy-1-indanon)-2-yl]methylpiperidine hydrochloride (donepezil HCl) is described in which 5,6-dimethoxy-2-(pyridin-4-yl)methyleneinda-1-one is hydrogenated with a Platinum-Group metal oxide catalyst in an organic solvent at 20-50°/10-45 psi-gauge, and the resulting 4-[(5,6-dimethoxy-1-indanon)-2-yl]methylpiperidine is benzylated with an benzyl bromide in an organic solvent at 30-80° and salified with methanolic HCl.
IT 4803-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of 1-benzyl-4-[(5,6-dimethoxy-1-indanon)-2-yl)methylpiperidine hydrochloride (donepezil hydrochloride) from)
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:796662 CAPLUS
DN 139:292159
TI Preparation of (1-indanone)-(1,2,3,6-tetrahydropyridine) derivative for use as sigma receptor agonists
IN Iimura, Yoichi; Kosasa, Takashi; Yamanishi, Yoshiharu
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

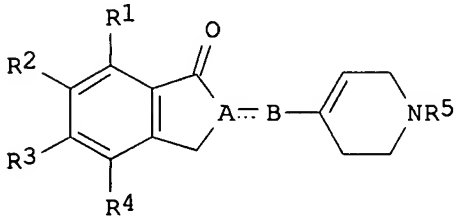
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082820	A1	20031009	WO 2003-JP3630	20030325
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU	2003221117	A1	20031013	AU 2003-221117	20030325
EP	1491531	A1	20041229	EP 2003-712926	20030325
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US	2005124642	A1	20050609	US 2004-940747	20040915
PRAI JP	2002-95352	A	20020329		
WO	2003-JP3630	W	20030325		

OS MARPAT 139:292159

GI



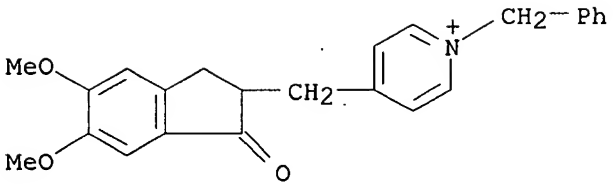
I

AB The patent relates to the preparation of an excellent sigma receptor binder and/or acetylcholine esterase inhibitor which contains a (1-indanone)-(1,2,3,6-tetrahydropyridine) derivative (I), a pharmacol. acceptable salt thereof, or a hydrate of either. wherein R1, R2, R3, R4 = H, halogen, OH, alkyl, alkoxy etc.; R5 = H, alkyl, cycloalkyl etc.; and A, B = partial structure of >C=CH-(CH2)m- or >C(R6)-(CH2)m- where R6 = H, halogen, OH, alkyl, alkoxy etc.; and m = 0-5. Thus, 1-benzyl-4-[(5,6-diethoxy-2-fluoro-1-indanone)-2-yl]methyl-1,2,3,6-tetrahydropyridine hydrochloride prepared by fluorination of 1-benzyl-4-[(5,6-diethoxy-1-indanone)-2-yl]methyl-1,2,3,6-tetrahydropyridine with N-fluorobenzenesulfonimide using lithium bis(trimethylsilyl)amide as a base, showed acetylcholine esterase inhibition rate (IC50) of 0.4 nM compared to 3.9 for the control (donepezil hydrochloride).

IT 231283-82-2 608511-41-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(in preparation of (1-indanone)-(1,2,3,6-tetrahydropyridine) derivs. as sigma receptor agonists)

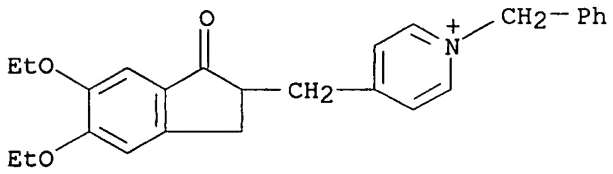
RN 231283-82-2 CAPLUS

CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

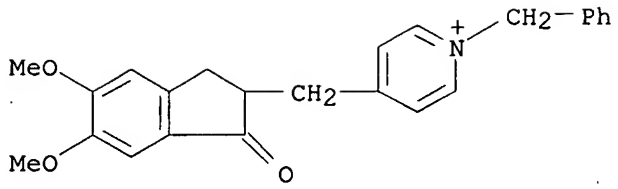
RN 608511-41-7 CAPLUS
CN Pyridinium, 4-[(5,6-diethoxy-2,3-dihydro-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:641079 CAPLUS
DN 138:106579
TI Quaternary Salts of E2020 Analogues as Acetylcholinesterase Inhibitors for the Reversal of Neuromuscular Block
AU Clark, John K.; Cowley, Phill; Muir, Alan W.; Palin, Ronald; Pow, Eleanor; Prosser, Alan B.; Taylor, Robert; Zhang, Ming-Qiang
CS Department of Medicinal Chemistry, Organon Laboratories Ltd., Lanarkshire, ML1 5SH, UK
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(18), 2565-2568
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:106579
AB A series benzylpiperidinium and benzylpyridinium quaternary salts was synthesized and tested for inhibition of acetylcholinesterase and reversal of neuromuscular block induced by vecuronium. Several potent reversal agents were identified and their haemodynamic effects measured.
IT 231283-82-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn of benzylpiperidinium and benzylpyridinium analogs of E2020 from benzofurans and their activity as acetylcholinesterase inhibitors for reversal of neuromuscular block)
RN 231283-82-2 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



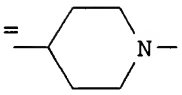
● Br^-

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 15 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:31416 CAPLUS
DN 136:102292
TI Preparation of piperidine derivatives as agents for controlling intraocular pressure
IN Iimura, Yoichi; Kosasa, Takashi; Kato, Akira
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002002526	A1	20020110	WO 2001-JP5714	20010702
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	JP 2000-200899	A	20000703		
	JP 2000-230319	A	20000731		

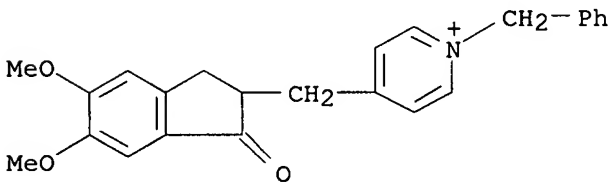
OS MARPAT 136:102292
GI

Q1 = 

AB The title compds. R1MAR2 (I) [R1 is (un)substituted 1-indanone-2-yl moiety (generic structure given), etc.; M is single bond or alkylene; A = Q1, etc.; R2 is hydrogen, optionally substituted alkyl, etc.] are prepared I are useful in the treatment, prevention or amelioration of eye diseases such as glaucoma and mydriasis. I are said to show intraocular pressure-decreasing activity and acetylcholine esterase inhibiting activity. For example, 1-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanone)-2-yl]methylpiperidine hydrochloride was prepared Formulations are given.
IT 231283-82-2P 388115-12-6P 388115-13-7P

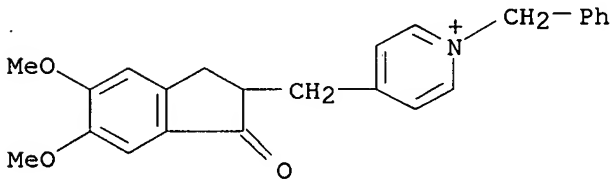
388115-14-8P 388115-17-1P 388115-18-2P
388115-19-3P 388115-20-6P 388115-21-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as agents for controlling intraocular pressure)

RN 231283-82-2 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



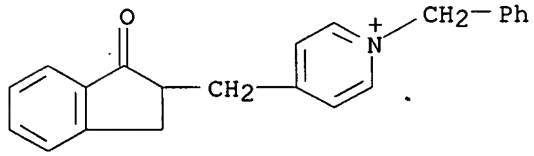
● Br^-

RN 388115-12-6 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, chloride (9CI) (CA INDEX NAME)



● Cl^-

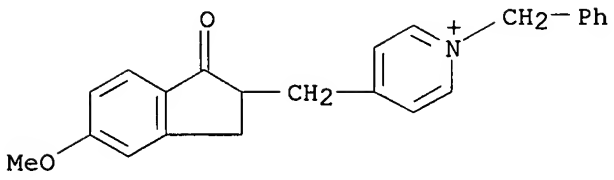
RN 388115-13-7 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

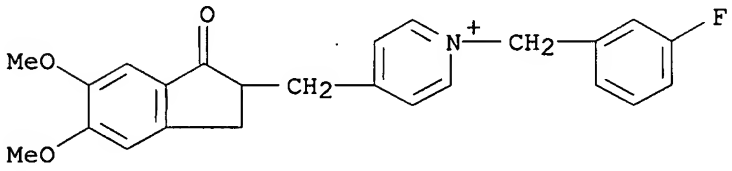
RN 388115-14-8 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5-methoxy-1-oxo-1H-inden-2-yl)methyl]-1-

(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



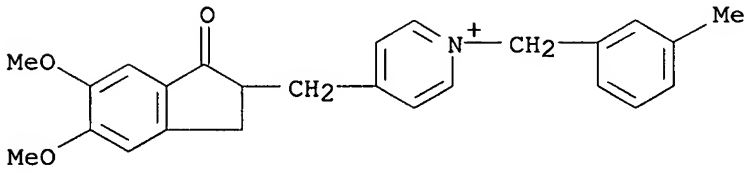
● Br^-

RN 388115-17-1 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-[(3-fluorophenyl)methyl]-, bromide (9CI) (CA INDEX NAME)



● Br^-

RN 388115-18-2 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-[(3-methylphenyl)methyl]-, bromide (9CI) (CA INDEX NAME)



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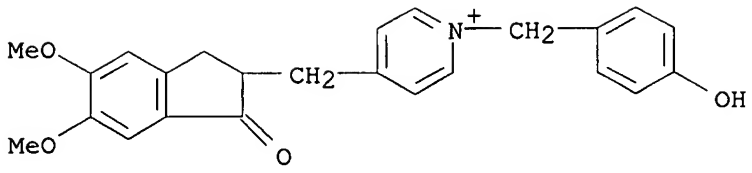
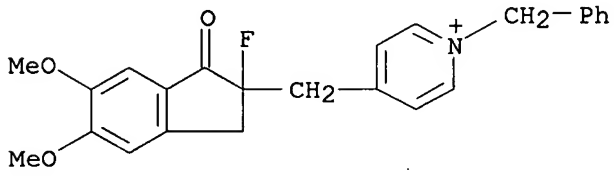
RN 388115-19-3 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-[(4-hydroxyphenyl)methyl]-, bromide (9CI) (CA INDEX NAME)



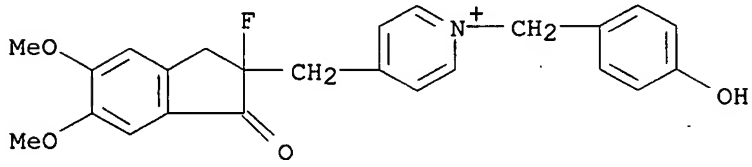
● Br^-

RN 388115-20-6 CAPLUS
CN Pyridinium, 4-[(2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



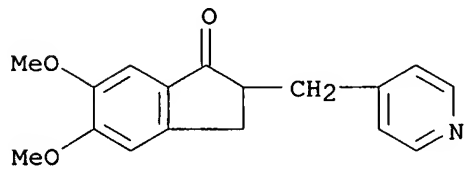
● Br^-

RN 388115-21-7 CAPLUS
CN Pyridinium, 4-[(2-fluoro-2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-[(4-hydroxyphenyl)methyl]-, bromide (9CI) (CA INDEX NAME)



● Br^-

IT 4803-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperidine derivs. as agents for controlling intraocular pressure)
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)

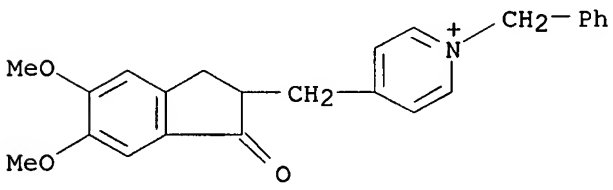


RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

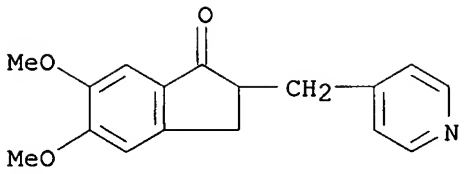
L11 ANSWER 16 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:780686 CAPLUS
DN 135:313626
TI Acetylcholinesterase inhibitors containing 1-benzylpyridinium salts
IN Iimura, Yoichi; Kosasa, Takashi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001078728	A1	20011025	WO 2001-JP3046	20010409
	W: JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	EP 1285656	A1	20030226	EP 2001-919838	20010409
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
	US 2003069289	A1	20030410	US 2002-221963	20020918
	US 6706741	B2	20040316		
PRAI	JP 2000-112627	A	20000413		
	WO 2001-JP3046	W	20010409		

OS MARPAT 135:313626
AB Claimed are acetylcholinesterase inhibitors containing 1-benzylpyridinium salts (Markush structure given). 1-Benzyl-4-[(5,6-dimethoxy-1-indanone)-2-yl]methylpyridinium bromide (preparation given) in vitro showed IC50 of 3.8 nM against acetylcholinesterase, vs. IC50 of 6.7 nM shown by donepezil hydrochloride.
IT 231283-82-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(acetylcholinesterase inhibitors containing 1-benzylpyridinium salts)
RN 231283-82-2 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



IT 4803-57-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylcholinesterase inhibitors containing 1-benzylpyridinium salts)
RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)

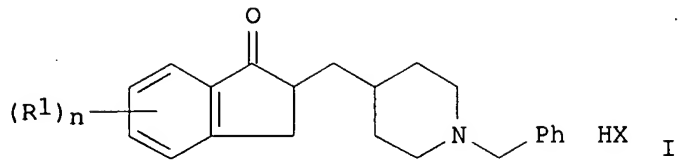


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:464279 CAPLUS
DN 131:102201
TI Process for production of donepezil derivative
IN Iimura, Yoichi
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9936405	A1	19990722	WO 1999-JP111	19990114
	W: CA, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2316360	A1	19990722	CA 1999-2316360	19990114
	EP 1047674	A1	20001102	EP 1999-900320	19990114
	EP 1047674	B1	20050330		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	AT 292116	T	20050415	AT 1999-900320	19990114
	ES 2237078	T3	20050716	ES 1999-900320	19990114
	JP 11263774	A	19990928	JP 1999-8759	19990118
	US 6252081	B1	20010626	US 2000-582496	20000627
PRAI	JP 1998-6908	A	19980116		
	WO 1999-JP111	W	19990114		

OS CASREACT 131:102201; MARPAT 131:102201
GI



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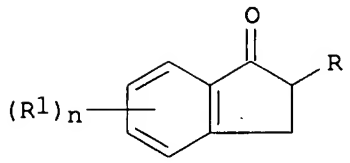

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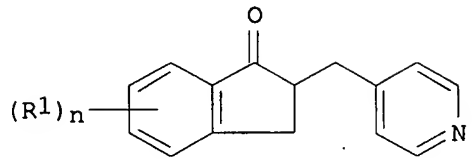
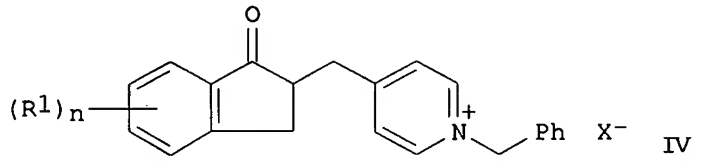


III



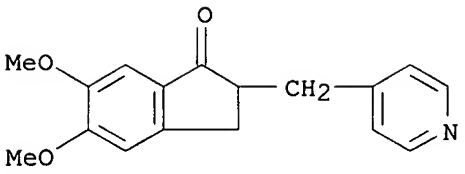
IV

AB The present invention provides a novel industrially or economically preferable process for production of a hydrogen halogenide salt of a donepezil derivative (I; R1 = H, alkoxy; n = 1-4; X = a halogen atom) having an excellent pharmacol. action as medicament, namely, reaction of 1-indanone derivative (II; R = H; R1, n = same as above) with carbonate ester to obtain 2-alkoxycarbonyl-1-indanone derivative (II; R = CO2R2; wherein lower alkyl; R1, n = same as above), followed by reaction with halogenated (4-pyridyl)methyl or a salt thereof and decarboxylation successively to obtain 2-(4-pyridyl)methyl-1-indanone derivative (III; R1, n = same as above), then reaction with halogenated benzyl to obtain quaternary ammonium salt (IV; R1, n = same as above; X = a halogen atom), then reduction to the donepezil derivative (I), and synthetic intermediate thereof. The donepezil derivative is useful as prophylactic or medicament for senile dementia, especially for Alzheimer disease (no data). Thus, 2.00 g 5,6-dimethoxy-2-ethoxycarbonyl-1-indanone was dissolved in DMF and treated with 0.73 g 60% NaH in oil under ice-cooling, and stirred at room temperature for 30 min. The reaction mixture was cooled in an ice-water bath, treated with 1.49 g 4-pyridylmethyl chloride, and stirred under the same condition and then at room temperature overnight to give 5,6-dimethoxy-2-ethoxycarbonyl-2-(4-pyridylmethyl)-1-indanone as a brown oil, which was refluxed with aqueous ethanol containing KOH for 30 min for decarboxylation to give 5,6-dimethoxy-2-(4-pyridylmethyl)-1-indanone (85% yield through two steps). The latter compound (1.00 g) was dissolved in MeCN under reflux, followed by adding 0.50 mL benzyl bromide, and the refluxing was continued for 2.5 h to quant. give 1-benzyl-4-[(5,6-dimethoxy-1-oxoindan-2-yl)methyl]pyridinium bromide. This compound (1.00 g) was dissolved in MeOH and hydrogenated in the presence of 0.1 g platinum oxide fro 3 h at room temperature to give 99% donepezil free base.

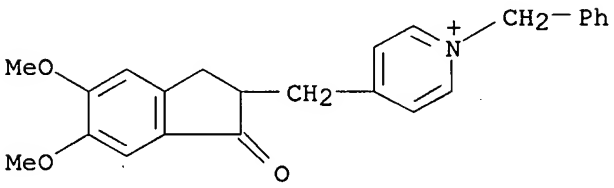
IT 4803-57-0P 231283-82-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of donepezil derivative from indanone derivative via catalytic hydrogenation of N-benzyl(oxoindanylmethyl)pyridinium halide)

RN 4803-57-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)



RN 231283-82-2 CAPLUS
CN Pyridinium, 4-[(2,3-dihydro-5,6-dimethoxy-1-oxo-1H-inden-2-yl)methyl]-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

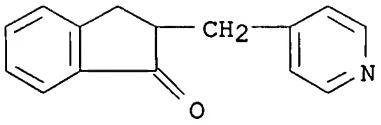


● Br^-

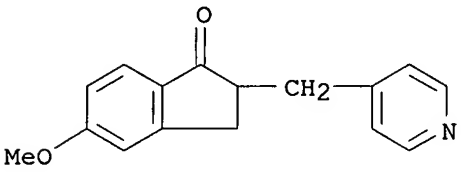
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 18 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1995:264054 CAPLUS
DN 122:71366
TI Pyridyl substituted benzocycloalkenes: new inhibitors of 17α-hydroxylase/17,20-lyase (P450 17α)
AU Sergejew, T.; Hartmann, R. W.
CS Fachrichtung 12.1 Pharmazeutische Chemie, Universitaet des Saarlandes, Saarbruecken, 66041, Fr.
SO Journal of Enzyme Inhibition (1994), 8(2), 113-22
CODEN: ENINEG; ISSN: 8755-5093
PB Harwood
DT Journal
LA English
AB Compds. capable of inhibiting 17α-hydroxylase/17,20-lyase (P 450 17α) are of great interest for the therapy of prostatic cancer since they block androgen biosynthesis. To evaluate the inhibitory activity of a series of benzocycloalkenes developed by the authors, an in vivo assay was established using rat testicular microsomes as source of the enzyme, nonlabeled progesterone as substrate, and a HPLC procedure for separation of the steroids. The inhibitory activities of 33 test compds. were compared to ketoconazole (IC50 67 μM), a known inhibitor of P 450 17α, which recently has successfully used in prostate cancer patients. Several compds. of the present study were stronger inhibitors of P 450 17α than ketoconazole. The most active compds. were 5-methoxy-2-(4-pyridylmethyl)-1-tetralone (IC50 13 μM) and 5-methoxy-2-(4-pyridyl)-1-tetralone (IC50 13 μM).
IT 4803-61-6 154932-68-0 154932-69-1
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-activity relations of pyridyl substituted benzocycloalkenes as inhibitors of testicular hydroxylase lyase)

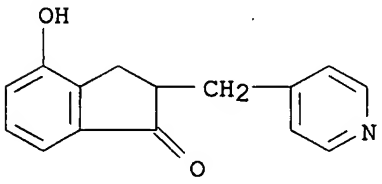
RN 4803-61-6 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



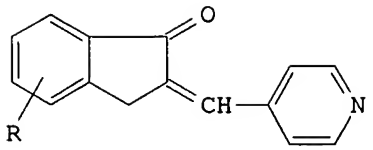
RN 154932-68-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5-methoxy-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



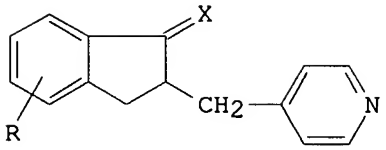
RN 154932-69-1 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-4-hydroxy-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



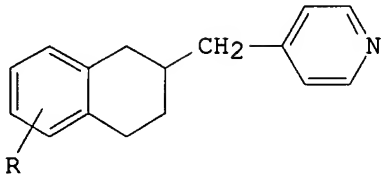
L11 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1994:323228 CAPLUS
DN 120:323228
TI Aromatase Inhibitors. Syntheses and Structure-Activity Studies of Novel Pyridyl-Substituted Indanones, Indans, and Tetralins
AU Hartmann, Rolf W.; Bayer, Herbert; Gruen, Gertrud
CS Fachrichtung 12.1 Pharmazeutische Chemie, Universitaet des Saarlandes, Saarbruecken, D-66041, Germany
SO Journal of Medicinal Chemistry (1994), 37(9), 1275-81
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 120:323228
GI



I



II



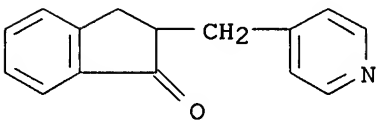
III

AB The (E)-2-(4-pyridylmethylene)-1-indanones I [R = 4-OMe, 5-OMe, 4-OH, 5-OH] were obtained by aldol condensation of the 1-indanones with 4-pyridinecarboxaldehyde, and ether cleavage. The synthesis of the (Z)-isomers of I was accomplished by UV irradiation of (E)-I. Catalytic hydrogenation of (E)-I gave the 2-(4-pyridylmethyl)-1-indanones II (X = O). II (X = H2) and the tetralins III (R = H, 5-OMe, 6-OMe, 7-OMe) were obtained by reduction of the corresponding ketones using N2H4/KOH. II and III (R = OH) were synthesized by ether cleavage of II and III (R = OMe). All compds. showed inhibition of human placental aromatase exhibiting relative potencies from 0.9 to 163 [aminoglutethimide (AG) potency = 1]. II (R = 5-OMe, X = H2) and III (R = 6-OMe) showed competitive type of inhibition and a type II difference spectrum, indicating the interaction of the pyridyl-N with the central Fe(III) ion of the cytochrome P 450 heme component. Only the OH-substituted indans and tetralins inhibited bovine adrenal desmolase with maximum activity shown by III (R = 5-OH, 7-OH) (12% inhibition, 25 μM; AG, 53 % inhibition, 25 μM). In vivo, however, all tested aromatase inhibitors were less active than AG in the inhibiting the uterotropic activity of androstenedione, reduction of the plasma estradiol concentration, and the mammary carcinoma (MC) inhibiting properties. Since no affinity to the estrogen receptor was demonstrated, estrogenic effects have to be excluded as a cause for the poor tumor inhibiting activity.

IT 4803-61-6P 154932-67-9P 154932-68-0P
154932-69-1P 154932-70-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and aromatase-inhibiting activity of)

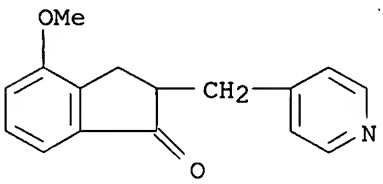
RN 4803-61-6 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

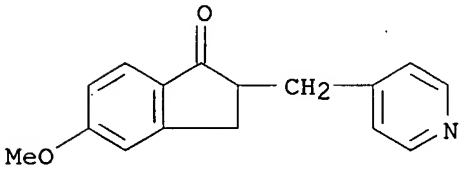


RN 154932-67-9 CAPLUS

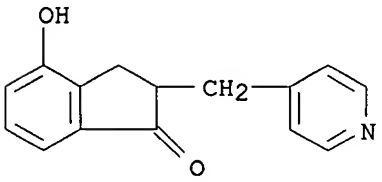
CN 1H-Inden-1-one, 2,3-dihydro-4-methoxy-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



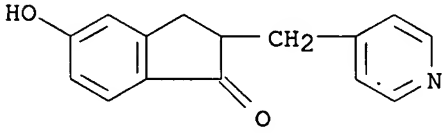
RN 154932-68-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5-methoxy-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 154932-69-1 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-4-hydroxy-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



RN 154932-70-4 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5-hydroxy-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



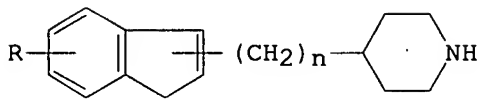
L11 ANSWER 20 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1982:142488 CAPLUS
DN 96:142488
TI Indene derivatives and their use in medicines
IN Dubroeucq, Marie Christine; Gueremy, Claude Georges Alexandre; Renault, Christian Louis Albert; Le Fur, Gerard Roger
PA Pharmindustrie, Fr.
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 42322	A1	19811223	EP 1981-400897	19810605
	EP 42322	B1	19840418		
	R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
	FR 2484415	A1	19811218	FR 1980-13145	19800613
	FR 2484415	B1	19830603		
	IL 62953	A	19840731	IL 1981-62953	19810525
	US 4357337	A	19821102	US 1981-271065	19810608
	AU 8171638	A	19811217	AU 1981-71638	19810611
	AU 540787	B2	19841206		

	ZA 8103935	A	19820630	ZA 1981-3935	19810611
	AT 8102607	A	19850515	AT 1981-2607	19810611
	AT 379383	B	19851227		
	DK 8102583	A	19811214	DK 1981-2583	19810612
	NO 8101995	A	19811214	NO 1981-1995	19810612
	NO 158800	B	19880725		
	NO 158800	C	19881102		
	JP 57067563	A	19820424	JP 1981-90685	19810612
	JP 63056223	B	19881107		
	ES 503015	A1	19821101	ES 1981-503015	19810612
	HU 30729	A2	19840328	HU 1981-1751	19810612
	HU 185432	B	19850228		
	CA 1173447	A1	19840828	CA 1981-379652	19810612
	ES 513129	A1	19830401	ES 1982-513129	19820615
	ES 513130	A1	19830401	ES 1982-513130	19820615
	AT 8304433	A	19850515	AT 1983-4433	19831219
	AT 379384	B	19851227		
	AT 8304434	A	19850515	AT 1983-4434	19831219
	AT 379385	B	19851227		
PRAI	FR 1980-13145	A	19800613		
	AT 1981-2607	A	19810611		

OS CASREACT 96:142488; MARPAT 96:142488

GI



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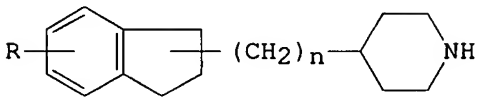


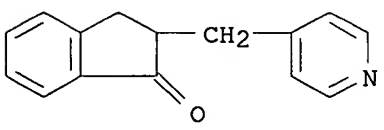
II

AB Indenes I and indans II (R = H, alkyl, halo, alkoxy, alkylthio; n = 1, 2, 3) were prepared by different methods and they showed antidepressant activity. Indene reacted with BuLi and 4-(3-tosyloxypropyl)-1-tritylpiperidine in hexane to give 3-[3-(4-piperidinyl)propyl]-1H-indene.

IT 4803-61-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(selective hydrogenation of)

RN 4803-61-6 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

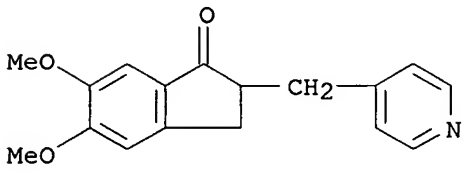
AN 1966:27423 CAPLUS

DN 64:27423

OREF 64:5042a-b

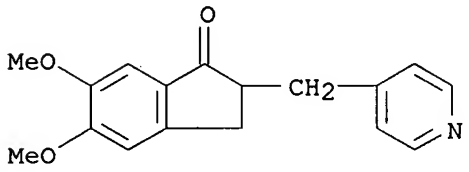
TI Reduction products of 2-pyridylmethylene-1-indanones. Indanols and indenoindolizines

AU Sam, Joseph; Alwani, Dru W.; Aparajithan, K.
CS Univ. of Mississippi, University
SO Journal of Heterocyclic Chemistry (1965), 2(4), 366-70
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
OS CASREACT 64:27423
AB Several 2-pyridylmethylene-1-indanones were prepared and reduced to 2-pyridylmethyl-1-indanones. The reductive cyclization of 5,6-dimethoxy- and 6-hydroxy-5-methoxy-2-pyridylmethylene-1-indanone gave the corresponding 5a,6,6a,7,8,9,10,11a-octahydroindeno[2,1-b]indolizines.
IT 4803-56-9P, 1-Indanone, 5,6-dimethoxy-2-(4-pyridylmethyl)-, hydrochloride 4803-57-0P, 1-Indanone, 5,6-dimethoxy-2-(4-pyridylmethyl)- 4803-59-2P, 1-Indanone, 5-hydroxy-2-(4-pyridylmethyl)-, hydrochloride 4803-61-6P, 1-Indanone, 2-(4-pyridylmethyl)- 4803-70-7P, 1-Indanol, 2-(4-pyridylmethyl)- 4849-57-4P, 1-Indanone, 6-hydroxy-5-methoxy-2-(4-pyridylmethyl)-, hydrochloride
RL: PREP (Preparation)
(preparation of)
RN 4803-56-9 CAPLUS
CN 1-Indanone, 5,6-dimethoxy-2-(4-pyridylmethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

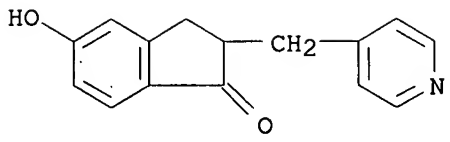


● HCl

RN 4803-57-0 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-pyridinylmethyl)- (CA INDEX NAME)

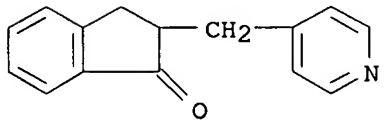


RN 4803-59-2 CAPLUS
CN 1-Indanone, 5-hydroxy-2-(4-pyridylmethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

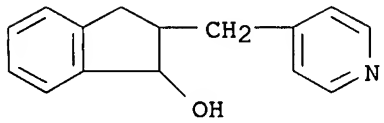


● HCl

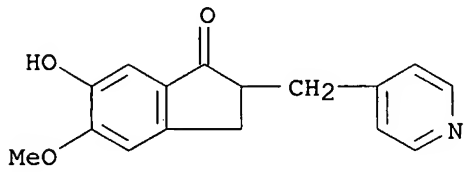
RN 4803-61-6 CAPLUS
CN 1H-Inden-1-one, 2,3-dihydro-2-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



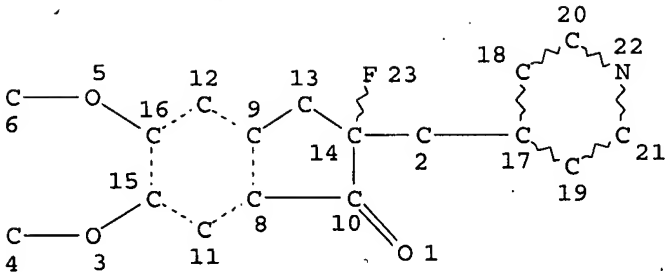
RN 4803-70-7 CAPLUS
CN 1-Indanol, 2-(4-pyridylmethyl)- (7CI, 8CI) (CA INDEX NAME)



RN 4849-57-4 CAPLUS
CN 1-Indanone, 6-hydroxy-5-methoxy-2-(4-pyridylmethyl)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl



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100.0% PROCESSED         4 ITERATIONS                                 4 ANSWERS
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                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            4 TO      200
PROJECTED ANSWERS:               4 TO      200

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L5            2 L3

=> d bib abs hitstr 1-2

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2003:591005  CAPLUS
DN   139:149530
TI   Preparation of 2-(4-piperidinylalkyl)-1-indanone derivatives as sigma
     receptor binders
IN   Iimura, Yoichi; Kosasa, Takashi; Yamanishi, Yoshiharu
PA   Eisai Co., Ltd., Japan
SO   PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT   Patent
LA   Japanese
FAN.CNT 1
     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
     ---------------      ----   --------    --------------------     --------
PI   WO 2003061658         A1    20030731    WO 2003-JP553            20030122
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1468684             A1    20041020    EP 2003-701147           20030122
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     US 2005107432          A1    20050519    US 2003-500750           20030122
PRAI JP 2002-13362          A     20020122
     JP 2002-13421          A     20020122
     WO 2003-JP553          W     20030122
OS   MARPAT 139:149530
GI
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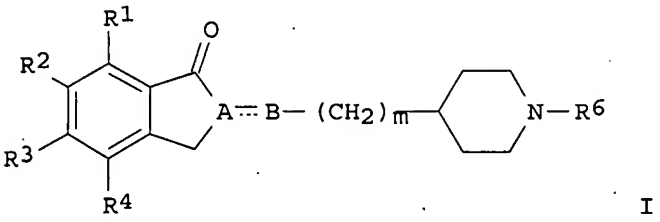
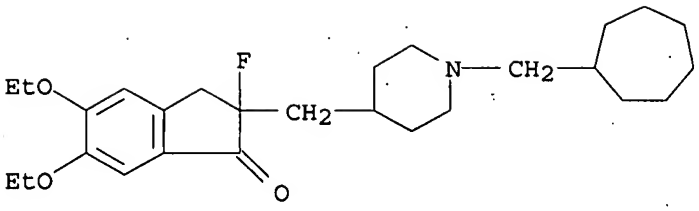


I

AB Disclosed are indanone derivs. and excellent sigma receptor binders containing an indanone derivs. represented by the following formula (I), pharmacol. acceptable salts thereof, or hydrates of either [wherein R1-R4 = H, halo, HO, cyano, each (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C1-6 alkoxy, C3-8 cycloalkoxy, C1-6 acyl, C1-6 alkoxycarbonyl, C1-6 alkylaminocarbonyloxy, di(C1-6 alkyl)aminocarbonyloxy, amino, CONH2, or C1-6 thioalkoxy, NO2, SH; or R1 and R2, R2 and R3, or R3 and R4 together may form an alicyclic, an aromatic cyclic, or a heterocyclic ring, or an alkylenedioxy ring; the partial structure of :A-B with a dotted line represents :CH-CH2, C:CH, :C(R7)-CH2; m = an integer of 0-5; R5 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl,



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

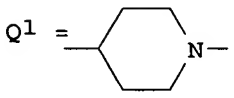
L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:31416 CAPLUS
DN 136:102292
TI Preparation of piperidine derivatives as agents for controlling intraocular pressure
IN Iimura, Yoichi; Kosasa, Takashi; Kato, Akira
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002002526	A1	20020110	WO 2001-JP5714	20010702

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI JP 2000-200899 A 20000703
JP 2000-230319 A 20000731
OS MARPAT 136:102292
GI

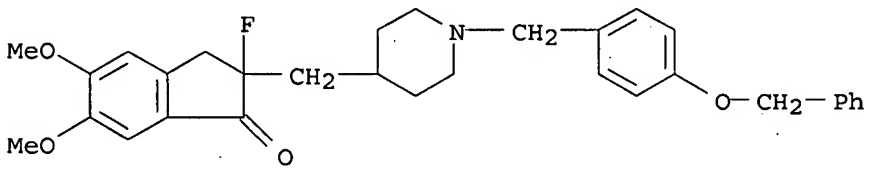


AB The title compds. R1MAR2 (I) [R1 is (un)substituted 1-indanone-2-yl moiety (generic structure given), etc.; M is single bond or alkylene; A = Q1, etc.; R2 is hydrogen, optionally substituted alkyl, etc.] are prepared I are useful in the treatment, prevention or amelioration of eye diseases such as glaucoma and mydriasis. I are said to show intraocular pressure-decreasing activity and acetylcholine esterase inhibiting activity. For example, 1-benzyl-4-[(5,6-dimethoxy-2-fluoro-1-indanone)-2-yl]methylpiperidine hydrochloride was prepared Formulations are given.

IT 388115-07-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as agents for controlling intraocular pressure)

RN 388115-07-9 CAPLUS

CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-[[1-[[4-(phenylmethoxy)phenyl]methyl]-4-piperidinyl]methyl]-, hydrochloride (9CI) (CA INDEX NAME)



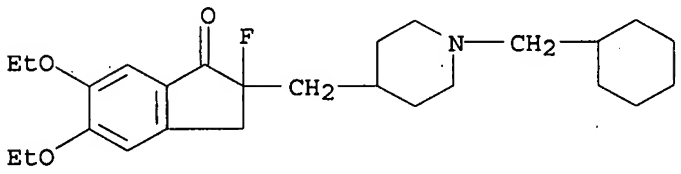
● HCl

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

benzyl, alicyclylmethyl, or heterocyclylmethyl, 2,2-(alkylenedioxy)ethyl; the compound is neither 1-benzyl-4-[(5,6-dimethoxy-1-oxoindan-2-yl)methyl]piperidine nor a pharmacol. acceptable salt thereof nor a hydrate of either]. These compds. are sigma receptor antagonists and agonists and are useful for the prevention, treatment, or improvement of mental disorders such as disorders accompanied by cerebral vascular dementia and/or senile dementia (in particular aggressive behavior, mental excitement, wandering, delirium, hallucination, and shaking), schizophrenia, emotional disturbance, depression, neurosis, psychophysiol. (psychosomatic) disorder, and anxiety. They are also acetylcholine esterase inhibitors and useful for the prevention, treatment, or improvement of cerebral vascular dementia, senile dementia (in particular Alzheimer's type dementia), attention deficiency shaking disorder, glaucoma, myasthenia gravis, and migraine headache. Thus, 0.20 g 1-benzyl-4-[(6-hydroxy-5-methoxy-1-oxo-indan-2-yl)methyl]piperidine was dissolved in 20 mL THF, successively treated with 0.064 mL ethanol, 0.29 g Ph3P, and 0.1 mL di-Et azodicarboxylate, stirred at room temperature overnight to give, after workup and silica gel chromatog., 83% 1-benzyl-4-[(6-ethoxy-5-methoxy-1-oxo-indan-2-yl)methyl]piperidine (II). II.HCl, 1-cycloheptylmethyl-4-[(5,6-diethoxy-2-fluoro-1-oxoindan-2-yl)methyl]piperidine hydrochloride, and Donepezil hydrochloride in vitro showed IC50 of 5.1, 1.1, and 18.7 μM, resp., for inhibiting the binding of 3H-DTG to σ-receptor of guinea pig's brain membrane.

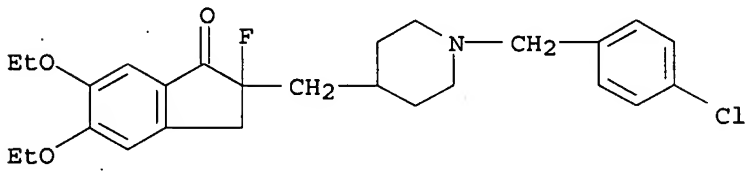
IT 571144-08-6P 571144-49-5P 571144-55-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(piperidinylalkyl)-1-indanone derivs. as sigma receptor agonists and antagonists and acetylcholine esterase inhibitors for treatment, preparation, or improvement of metal disorders)

RN 571144-08-6 CAPLUS
CN 1H-Inden-1-one, 2-[[1-(cyclohexylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 571144-49-5 CAPLUS
CN 1H-Inden-1-one, 2-[[1-[(4-chlorophenyl)methyl]-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)



RN 571144-55-3 CAPLUS
CN 1H-Inden-1-one, 2-[[1-(cycloheptylmethyl)-4-piperidinyl]methyl]-5,6-diethoxy-2-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)